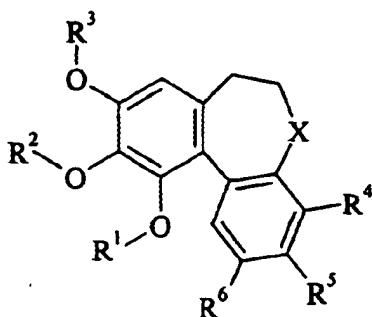


**IN THE CLAIMS:****Claim 1 (cancelled).****Claim 2 (currently amended and reformatted): A compound of the formula****IIa:**

(IIa)

wherein

X is  $\text{C}(\text{O})$ ,  $\text{C}(\text{S})$ ,  $\text{C}=\text{NOH}$ , or  $\text{CH}(\text{R}^7)$ - wherein  $\text{R}^7$  is hydrogen, hydroxy,  $\text{C}_{1-7}$ alkoxy,  $-\text{OR}^8$  or  $-\text{NR}^8\text{R}^9$ - (wherein

$\text{R}^8$  is a group  $-\text{Y}^1\text{R}^{10}$ - (wherein

$\text{Y}^1$  is a direct bond,  $-\text{C}(\text{O})$ -,  $-\text{C}(\text{S})$ -,  $-\text{S}-$ ,  $-\text{C}(\text{O})\text{O}-$ ,  $-\text{C}(\text{O})\text{NR}^{11}-$ ,  $-\text{SO}_2-$  or  $-\text{SO}_2\text{NR}^{12}-$  (wherein  $\text{R}^{11}$  and  $\text{R}^{12}$ , which may be the same or different, each independently represents hydrogen,  $\text{C}_{1-3}$ alkyl or  $\text{C}_{1-3}$ alkoxy $\text{C}_{2-3}$ alkyl) and

$\text{R}^{10}$  is selected from one of the following nine groups:

1) hydrogen,  $\text{C}_{1-7}$ alkyl,  $\text{C}_{3-7}$ cycloalkyl,  $\text{C}_{1-4}$ alkyl $\text{Y}^8\text{C}_{1-4}$ alkyl wherein  $\text{Y}^8$  is as defined herein, or phenyl, (which alkyl, cycloalkyl, alkyl $\text{Y}^8$ alkyl or phenyl group may bear one or more substituents selected from:

halogeno, amino,  $\text{C}_{1-4}$ alkylamino, di( $\text{C}_{1-4}$ alkyl)amino, hydroxy, carboxy, carbamoyl,  $\text{C}_{1-4}$ alkoxy,  $\text{C}_{1-4}$ alkylsulphanyl,  $\text{C}_{1-4}$ alkylsulphonyl,  $\text{C}_{1-4}$ alkoxycarbonylamino,  $\text{C}_{1-4}$ alkanoyl, phenyl, nitro, sulphate, phosphate,  $\text{Z}^1$ - (wherein  $\text{Z}^1$  represents a 5-6 membered saturated heterocyclic group

(linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>aminoalkyl, C<sub>1-7</sub>alkanoyl, cyanoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl and Z<sup>2</sup> (wherein Z<sup>2</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>aminoalkyl, C<sub>1-7</sub>alkanoyl, cyanoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl and C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl});

C<sub>1-4</sub>alkyl|Z<sup>1</sup> (wherein Z<sup>1</sup> is as defined herein), and

a group -Y<sup>2</sup>R<sup>13</sup>-(wherein Y<sup>2</sup> is -NR<sup>14</sup>C(O)- or -O-C(O)- (wherein R<sup>14</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>13</sup> is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl or a group R<sup>15</sup> wherein R<sup>15</sup> is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>16</sup>R<sup>17</sup> and -NR<sup>18</sup>COR<sup>19</sup> (wherein R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup> and R<sup>19</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

2) R<sup>15</sup> wherein R<sup>15</sup> is as defined herein;

3) C<sub>2-7</sub>alkenylR<sup>15</sup> (wherein R<sup>15</sup> is as defined herein);

4) C<sub>3-7</sub>alkynylR<sup>15</sup> (wherein R<sup>15</sup> is as defined herein));

- 5)  $Z^1$  (wherein  $Z^1$  is as defined herein);
- 6)  $C_{1-7}\text{alkyl}Z^1$  (wherein  $Z^1$  is as defined herein);
- 7)  $C_{1-7}\text{alkyl}Y^8Z^1$  (wherein  $Z^1$  is as defined herein and  $Y^8$  is  $-\text{C}(\text{O})-$ ,  $-\text{NR}^{59}\text{C}(\text{O})-$ ,  $-\text{NR}^{59}\text{C}(\text{O})\text{C}_{1-4}\text{alkyl}-$ ,  $-\text{C}(\text{O})\text{NR}^{60}-$  or  $-\text{C}(\text{O})\text{NR}^{60}\text{C}_{1-4}\text{alkyl}-$ , (wherein  $R^{59}$  and  $R^{60}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}\text{alkyl}$ ,  $C_{1-3}\text{hydroxyalkyl}$  or  $C_{1-3}\text{alkoxyC}_{2-3}\text{alkyl}$ ));
- 8)  $(C_{1-7}\text{alkyl})_cY^9Z^3$  (wherein  $c$  is 0 or 1,  $Z^3$  is an amino acid group and  $Y^9$  is a direct bond,  $-\text{C}(\text{O})-$  or  $-\text{NR}^{61}-$  (wherein  $R^{61}$  is hydrogen,  $C_{1-3}\text{alkyl}$  or  $C_{1-3}\text{alkoxyC}_{2-3}\text{alkyl}$ )); and
- 9)  $C_{1-7}\text{alkyl}R^{15}$  (wherein  $R^{15}$  is as defined herein);

and  $R^9$  is hydrogen,  $C_{1-7}\text{alkyl}$  or  $C_{3-7}\text{cycloalkyl}$ , which alkyl or cycloalkyl group may bear one or more substituents selected from  $C_{1-4}\text{alkoxy}$  and  $\text{phenyl}$ );

$R^1$ ,  $R^2$  and  $R^3$  are each independently hydrogen,  $\text{PO}_3\text{H}_2$ , sulphate,  $C_{3-7}\text{cycloalkyl}$ ,  $C_{2-7}\text{alkenyl}$ ,  $C_{2-7}\text{alkynyl}$ ,  $C_{1-7}\text{alkanoyl}$ , a group  $R^{20}C_{1-7}\text{alkyl}$  (wherein  $R^{20}$  is phenyl which may bear one or more substituents selected from  $C_{1-4}\text{alkyl}$ ,  $C_{1-4}\text{alkoxy}$ ,  $C_{1-4}\text{aminoalkyl}$  and  $C_{1-4}\text{hydroxyalkoxy}$ ),  $C_{1-7}\text{alkyl}$  or  $C_{1-7}\text{alkylsulphonyl}$ , (which alkyl or alkylsulphonyl group may bear one or more substituents selected from:

halogeno, amino,  $C_{1-4}\text{alkylamino}$ ,  $\text{di}(C_{1-4}\text{alkyl})\text{amino}$ , hydroxy,  $C_{1-4}\text{alkoxy}$ ,  $C_{1-4}\text{alkylsulphonyl}$ ,  $C_{1-4}\text{alkylsulphonyl}$ ,  $C_{1-4}\text{alkoxycarbonylamino}$ ,  $C_{1-4}\text{alkanoyl}$ , carboxy, phenyl, nitro, sulphate, phosphate and a group  $-Y^2R^{21}$  (wherein

$Y^2$  is  $-\text{NR}^{22}\text{C}(\text{O})-$  or  $-\text{O}-\text{C}(\text{O})-$ , (wherein  $R^{22}$  represents hydrogen,  $C_{1-3}\text{alkyl}$  or  $C_{1-3}\text{alkoxyC}_{2-3}\text{alkyl}$ ) and

$R^{21}$  is  $C_{1-7}\text{alkyl}$ ,  $C_{3-7}\text{cycloalkyl}$  or a group  $R^{23}$  wherein  $R^{23}$  is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino,  $C_{1-4}\text{alkyl}$ ,  $C_{1-4}\text{haloalkyl}$ ,  $C_{1-4}\text{alkoxy}$ ,  $C_{1-4}\text{hydroxyalkyl}$ ,  $C_{1-4}\text{aminoalkyl}$ ,  $C_{1-4}\text{alkylamino}$ ,  $C_{1-4}\text{hydroxyalkoxy}$ , carboxy,

cyano, -CONR<sup>24</sup>R<sup>25</sup> and -NR<sup>26</sup>COR<sup>27</sup> (wherein R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup> and R<sup>27</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

with the proviso that at least two of R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are C<sub>1-7</sub>alkyl;

R<sup>4</sup> is hydrogen, cyano, halogeno, nitro, amino, hydroxy, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>thioalkoxy, C<sub>1-7</sub>alkanoyl or C<sub>1-7</sub>alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y<sup>3</sup>R<sup>28</sup> (wherein Y<sup>3</sup> is -NR<sup>29</sup>C(O)- or -O-C(O)- (wherein R<sup>29</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>28</sup> is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl or a group R<sup>30</sup> wherein R<sup>30</sup> is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>31</sup>R<sup>32</sup> and -NR<sup>31</sup>COR<sup>32</sup> (wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> and R<sup>34</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

R<sup>5</sup> and R<sup>6</sup> are each independently selected from hydrogen, -OPO<sub>3</sub>H<sub>2</sub>, phosphonate, cyano, halogeno, nitro, amino, carboxy, carbamoyl, hydroxy, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, C<sub>1-7</sub>thioalkoxy, C<sub>1-7</sub>alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, carboxy, phenyl, sulphate, phosphate and a group -Y<sup>3</sup>R<sup>28</sup> (wherein Y<sup>3</sup> is -NR<sup>29</sup>C(O)- or -O-C(O)- (wherein R<sup>29</sup> represents hydrogen, C<sub>1-3</sub>alkyl or

$C_{1-3}alkoxyC_{2-3}alkyl)$  and  $R^{28}$  is  $C_{1-7}alkyl$ ,  $C_{3-7}cycloalkyl$  or a group  $R^{30}$  wherein  $R^{30}$  is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino,  $C_{1-4}alkyl$ ,  $C_{1-4}haloalkyl$ ,  $C_{1-4}alkoxy$ ,  $C_{1-4}hydroxyalkyl$ ,  $C_{1-4}aminoalkyl$ ,  $C_{1-4}alkylamino$ ,  $C_{1-4}hydroxyalkoxy$ , carboxy, cyano,  $-CONR^{31}R^{32}$  and  $-NR^{31}COR^{32}$  (wherein  $R^{31}$ ,  $R^{32}$ ,  $R^{33}$  and  $R^{34}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ )), and

a group  $-Y^4R^{35}$  (wherein

$Y^4$  is  $-C(O)-$ ,  $-OC(O)-$ ,  $-O-$ ,  $-SO-$ ,  $-SO_2-$ ,  $-OSO_2-$ ,  $-NR^{36}-$ ,  $-C_{1-4}alkylNR^{36}-$ ,  $-C_{1-4}alkylC(O)-$ ,  $-NR^{37}C(O)-$ ,  $-OC(O)O-$ ,  $-C(O)NR^{38}-$  or  $-NR^{39}C(O)O-$  (wherein  $R^{36}$ ,  $R^{37}$ ,  $R^{38}$  and  $R^{39}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ) and

$R^{35}$  is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, sulphate, hydroxy, amino,  $C_{1-7}alkyl$ ,  $C_{1-7}alkoxy$ ,  $C_{1-7}alkanoyl$ ,  $C_{1-7}alkylamino$ ,  $di(C_{1-7}alkyl)amino$ ,  $aminoC_{1-7}alkylamino$ ,  $C_{1-7}alkylaminoC_{1-7}alkylamino$ ,  $C_{1-7}alkanoylaminoC_{1-7}alkyl$ ,  $di(C_{1-7}alkyl)aminoC_{1-7}alkylamino$ ,  $C_{1-7}alkylphosphate$ ,  $C_{1-7}alkylphosphonate$ ,  $C_{1-7}alkylcarbamoylC_{1-7}alkyl$ , (which alkyl, alkoxy, alkanoyl, alkylamino, dialkylamino, aminoalkylamino, alkylaminoalkylamino, alkanoylaminoalkyl, dialkylaminoalkylamino, alkylphosphate, alkylphosphonate or alkylcarbamoylalkyl, may bear one or more substituents selected from:

halogeno, amino,  $C_{1-4}alkylamino$ ,  $di(C_{1-4}alkyl)amino$ , hydroxy,  $C_{1-4}hydroxyalkyl$ ,  $C_{1-4}alkoxy$ ,  $C_{1-4}alkylsulphanyl$ ,  $C_{1-4}alkylsulphonyl$ ,  $C_{1-4}alkoxycarbonylamino$ ,  $C_{1-4}alkanoyl$ , carboxy, phenyl, nitro, sulphate, phosphate and a group  $-Y^5R^{40}$  (wherein  $Y^5$  is  $-NR^{41}C(O)-$ ,  $-C(O)NR^{42}-$ ,  $-C(O)-O-$  or  $-O-C(O)-$  (wherein  $R^{41}$  and  $R^{42}$  which may be the same or different each represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ) and  $R^{40}$

is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl, carboxyC<sub>1-7</sub>alkyl or a group R<sup>43</sup> wherein R<sup>43</sup> is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>44</sup>R<sup>45</sup> and -NR<sup>46</sup>COR<sup>47</sup> (wherein R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup> and R<sup>47</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl)),

R<sup>48</sup> (wherein R<sup>48</sup> is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>hydroxyalkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>aminoalkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkoxy, carboxy, C<sub>1-4</sub>carboxyalkyl, phenyl, cyano, -CONR<sup>49</sup>R<sup>50</sup>, -NR<sup>51</sup>COR<sup>52</sup> (wherein R<sup>49</sup>, R<sup>50</sup>, R<sup>51</sup> and R<sup>52</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and C<sub>1-4</sub>alkylR<sup>53</sup> (wherein R<sup>53</sup> is as defined herein),

C<sub>1-7</sub>alkylR<sup>48</sup> (wherein R<sup>48</sup> is as defined herein),

R<sup>53</sup> (wherein R<sup>53</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>carboxyalkyl, C<sub>1-4</sub>aminoalkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl and R<sup>54</sup> (wherein R<sup>54</sup> is a 5-6-membered saturated

heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl and C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl)), or

(CH<sub>2</sub>)<sub>a</sub>Y<sup>6</sup>(CH<sub>2</sub>)<sub>b</sub>R<sup>53</sup> (wherein R<sup>53</sup> is as defined herein, a is 0, or an integer 1-4, b is 0 or an integer 1-4 and Y<sup>6</sup> represents a direct bond, -O-, -C(O)-, -NR<sup>55</sup>-, -NR<sup>56</sup>C(O)- or -C(O)NR<sup>57</sup>- (wherein R<sup>55</sup>, R<sup>56</sup>, and R<sup>57</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and wherein one or more of the (CH<sub>2</sub>)<sub>a</sub> or (CH<sub>2</sub>)<sub>b</sub> groups may bear one or more substituents selected from hydroxy, amino and halogeno));

with the proviso that R<sup>5</sup> is not hydroxy, alkoxy, substituted alkoxy (wherein R<sup>5</sup> is Y<sup>4</sup>R<sup>35</sup> and Y<sup>4</sup> is -O- and R<sup>35</sup> is C<sub>1-7</sub>alkyl bearing one or more substituents selected from the list given herein), -OPO<sub>3</sub>H<sub>2</sub>, -O-C<sub>1-7</sub>alkanoyl or benzyloxy;

with the further proviso that at least one of R<sup>5</sup> or R<sup>6</sup> is a group -Y<sup>4</sup>R<sup>35</sup> (wherein Y<sup>4</sup> and R<sup>35</sup> are as defined herein) but with the further provisos that when R<sup>5</sup> is -Y<sup>4</sup>R<sup>35</sup> and R<sup>6</sup> is hydrogen, hydroxy, methoxy or methoxycarbonyl, -Y<sup>4</sup>R<sup>35</sup> is not selected from cases wherein:

Y<sup>4</sup> is -C(O)-, -OC(O)-, -O-, -SO-, -OSO<sub>2</sub>-, -NR<sup>36</sup>-, -NR<sup>37</sup>C(O)- or -C(O)NR<sup>38</sup>- (wherein R<sup>36</sup>, R<sup>37</sup> and R<sup>38</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>35</sup> is a glycine, valine or lysine group, a dipeptide of glycine and valine groups, C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from:

halogeno, hydroxy, and a group -Y<sup>5</sup>R<sup>40</sup> (wherein Y<sup>5</sup> is -O-C(O)- and R<sup>40</sup> is C<sub>1-7</sub>alkyl)), or R<sup>48</sup> (wherein R<sup>48</sup> is a tetrazolyl group (which may or may not be substituted as herein defined), a phenyl group or a benzyl group which phenyl or benzyl group may bear one or more substituents selected from C<sub>1-4</sub>alkyl); and

that when  $R^6$  is  $-Y^4R^{35}$  and  $R^5$  is hydrogen, hydroxy, methoxy or methoxycarbonyl,  $-Y^4R^{35}$  is not selected from cases wherein:

$Y^4$  is  $-C(O)-$ ,  $-O-$  or  $-OSO_2-$  and

$R^{35}$  is  $C_{1-7}alkyl$ ,  $C_{1-7}alkoxy$  (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno),  $R^{48}$  (wherein  $R^{48}$  is a benzyl group which benzyl group may bear one or more substituents selected from  $C_{1-4}alkyl$ ), or  $R^{53}$  (wherein  $R^{53}$  is piperidinyl);

or a salt thereof.

**Claim 3 (cancelled).**

**Claim 4 (original):** A compound according to claim 2 wherein X is  $-CH(R^7)-$  wherein  $R^7$  is  $-OR^8$  or  $-NR^8R^9$  (wherein  $R^8$  is a group  $-Y^1R^{10}$  (wherein  $Y^1$  is  $-C(O)-$ ,  $-C(O)O-$  or  $-C(O)NR^{11}-$  (wherein  $R^{11}$  represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ) and  $R^{10}$  is as defined in claim 2) and  $R^9$  is as defined in claim 2).

**Claim 5 (previously amended):** A compound according to claim 2 wherein  $R^1$ ,  $R^2$  and  $R^3$  are each methyl.

**Claim 6 (previously amended):** A compound according to claim 2 wherein  $R^4$  is hydrogen.

**Claim 7 (currently amended and reformatted):** A compound according to claim 2 wherein  $R^6$  is hydrogen, halogeno, amino, carboxy, hydroxy,  $C_{1-7}alkoxy$  or a group  $Y^4R^{35}$  (wherein

$Y^4$  is  $-C(O)-$ ,  $-O-$  or  $-OSO_2-$  and

$R^{35}$  is  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy (which alkyl or alkoxy may bear one or more substituents selected from halogeno),  $R^{48}$  (wherein  $R^{48}$  is a benzyl group) or  $R^{53}$  (wherein  $R^{53}$  is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms selected independently from O, S and N)).

**Claim 8 (previously amended):** A compound according to claim 2 wherein  $R^6$  is hydrogen,  $C(O)OCH_3$  or methoxy.

**Claim 9 (presently amended and reformatted):** A compound according to claims 2 wherein

$R^5$  is hydrogen, halogeno, amino, carboxy, carbamoyl,  $C_{1-7}$ alkanoyl,  $C_{1-7}$ thioalkoxy, or a group  $-Y^4R^{35}$  (wherein

$Y^4$  is  $-C(O)-$ ,  $-OC(O)-$ ,  $-O-$ ,  $-SO-$ ,  $-OSO_2-$ ,  $-NR^{36}-$ ,  $-NR^{37}C(O)-$  or  $-C(O)NR^{38}-$  (wherein  $R^{36}$ ,  $R^{37}$  and  $R^{38}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and

$R^{35}$  is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide,  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy,  $C_{1-7}$ alkanoyl,  $C_{1-7}$ alkanoylamino $C_{1-7}$ alkyl, (which alkyl, alkoxy, alkanoyl, alkanoylaminoalkyl may bear one or more substituents selected from: halogeno, amino, hydroxy, carboxy, and a group  $-Y^5R^{40}$  (wherein

$Y^5$  is  $-C(O)-O-$  or  $-O-C(O)-$  and

$R^{40}$  is  $C_{1-7}$ alkyl or a group  $R^{43}$  wherein  $R^{43}$  is a benzyl group),

$R^{48}$  (wherein  $R^{48}$  is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, fluoro, amino,  $C_{1-4}$ alkoxy,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ aminoalkyl,  $C_{1-4}$ alkylamino, di( $C_{1-4}$ alkyl)amino, di( $C_{1-4}$ alkyl)amino $C_{1-4}$ alkyl, di( $C_{1-4}$ hydroxyalkyl)amino $C_{1-4}$ alkyl, di( $C_{1-4}$ aminoalkyl)amino $C_{1-4}$ alkyl,

$C_{1-4}$ hydroxyalkoxy, carboxy,  $C_{1-4}$ carboxyalkyl, cyano,  $-CONR^{49}R^{50}$ ,  $-NR^{51}COR^{52}$  (wherein  $R^{49}$ ,  $R^{50}$ ,  $R^{51}$  and  $R^{52}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and  $C_{1-4}$ alkyl $R^{53}$  (wherein  $R^{53}$  is as defined herein),  $C_{1-7}$ alkyl $R^{48}$  (wherein  $R^{48}$  is as defined herein),  $R^{53}$  (wherein  $R^{53}$  is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, fluoro, chloro,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ carboxyalkyl,  $C_{1-4}$ aminoalkyl, di( $C_{1-4}$ alkyl)amino $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy $C_{1-4}$ alkyl,  $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl and  $R^{54}$  (wherein  $R^{54}$  is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ alkoxy $C_{1-4}$ alkyl and  $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl)), or  $(CH_2)_aY^6(CH_2)_bR^{53}$  (wherein  $R^{53}$  is as defined herein,  $a$  is 0, or an integer 1-4,  $b$  is 0 or an integer 1-4 and  $Y^6$  represents a direct bond,  $-O-$ ,  $-C(O)-$ ,  $-NR^{55}-$ ,  $-NR^{56}C(O)-$  or  $-C(O)NR^{57}-$  (wherein  $R^{55}$ ,  $R^{56}$ , and  $R^{57}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl), and wherein one or more of the  $(CH_2)_a$  or  $(CH_2)_b$  groups may bear one or more substituents selected from hydroxy, amino and halogeno)); with the proviso that  $R^5$  is not alkoxy, substituted alkoxy (wherein  $R^5$  is  $Y^4R^{35}$  and  $Y^4$  is  $-O-$  and  $R^{35}$  is  $C_{1-7}$ alkyl bearing one or more substituents selected from the list given herein),  $-O-C_{1-7}$ alkanoyl or benzyloxy.

**Claim 10 (original):** A compound according to claim 2 selected from:

(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[*a,c*]cyclohepten-3-yl 3-{{[(2*R*)-2,6-diaminohexanoyl]amino}propanoate,

(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl  
3-[(2-aminoacetyl)amino]propanoate,

*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxymethyl)-2-morpholinoacetamide,

(2*S,3S,4S,5R,6R*)-6-{{(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy}-3,4,5-trihydroxytetrahydro-2*H*-pyran-2-carboxylic acid,

*N*-[(5*S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,

*N*-[(5*S*)-3-(4-{morpholinomethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,

(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl  
3-[4-methylpiperazin-1-ylcarbonyl]propanoate,

5-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxycarbonyl]pentanoic acid,

4-(3-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy-3-oxopropyl)benzoic acid and

(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,

and salts thereof.

**Claim 11 (original): A compound according to claim 2 selected from**

*N*-[(5*S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide and

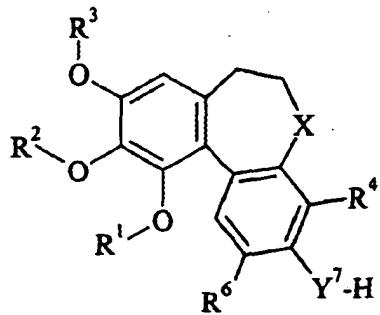
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,

and salts thereof.

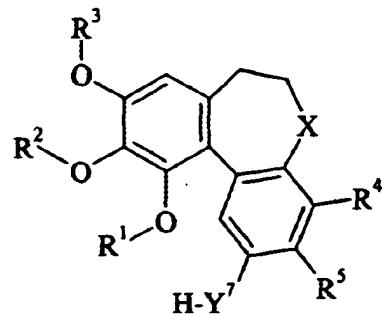
**Claim 12 (original):** A compound according to claim 2 selected from  
 $(2S)$ -*N*-[( $5S$ )-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro- $5H$ -dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-5-[(2-nitroethanimidoyl)amino]pentanamide  
 and salts thereof.

**Claim 13. (original; reformatted):** A process for the manufacture of a compound of formula IIa as defined in claim 2 which comprises:

(a) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is as defined in claim 2 and  $Y^4$  is a group -OC(O)- or -NHC(O)-), the reaction of a compound of formula III or IV:



(III)



(IV)

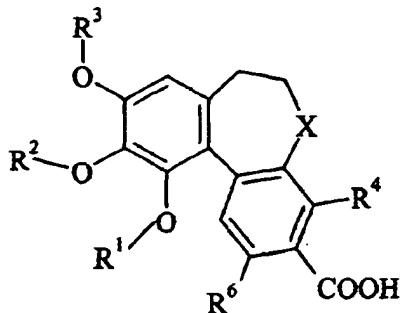
(wherein  $X$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$  are as defined in claim 2 and  $Y^7$  is -O- or -NH-), by acylation or coupling reactions;

(b) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is  $C_{1-7}$ alkoxy which may be substituted as defined in claim 2 and  $Y^4$  is a group -OC(O)- or -NHC(O)-), the reaction of a compound of formula III and IV, by acylation reactions;

(c) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is amino $C_{1-7}$ alkylamino,  $C_{1-7}$ alkylamino $C_{1-7}$ alkylamino, di( $C_{1-7}$ alkyl)amino $C_{1-7}$ alkylamino and may be substituted as defined in claim 2, or is

$R^{53}$  (wherein  $R^{53}$  is as defined in claim 2) and  $Y^4$  is a group -OC(O)- or -NHC(O)-), can be prepared by the reaction of a compound of formula III or IV, acylation reactions;

- (d) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is a sugar moiety and  $Y^4$  is a group -O- or -NH-), the reaction of a compound of formula III or IV, glycosylation reactions;
- (e) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is sulphate and  $Y^4$  is a group -O- or -NH-), the reaction of a compound of formula III or IV, by sulphonylation reactions;
- (f) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is  $C_{1-7}$ alkylphosphate and may be substituted as defined in claim 2 and  $Y^4$  is a group -O- or -NH-), the reaction of a compound of formula III or IV, by phosphorylation reactions;
- (g) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  is amino the reaction of a carboxylic acid of formula V:



(V)

(wherein  $X$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^6$  are as defined in claim 2) via Curtius rearrangement and hydrolysis; and

- (h) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is chloro the reaction of a compound of formula III or IV by the Sandmeyer reaction;

and when a pharmaceutically acceptable salt of a compound of formula IIa is required, reaction of the compound obtained with an acid or base whereby to obtain the desired pharmaceutically acceptable salt.

**Claim 14 (original):** A pharmaceutical composition which comprises as active ingredient a compound of formula IIa as defined in claim 2 or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable excipient or carrier.

**Claim 15 (original):** A method for producing a vascular damaging effect in a warm-blooded animal, such as a human being, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula IIa or a pharmaceutically acceptable salt thereof as defined in claim 2.